

10/014,665

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NEWS 18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 19	DEC 22	ABI-INFORM now available on STN
NEWS 20	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS 21	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAPLUS
NEWS 22	FEB 05	German (DE) application and patent publication number format changes
NEWS EXPRESS		DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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TOTAL

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FILE COVERS 1907 - 7 Feb 2004 VOL 140 ISS 7

FILE LAST UPDATED: 6 Feb 2004 (20040206/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s oxandrolone

L1 225 OXANDROLONE

=> s l1 and synthesis

1103150 SYNTHESIS

L2 12 L1 AND SYNTHESIS

=> s l1 and preparation

1270302 PREPARATION

L3 4 L1 AND PREPARATION

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=> s l1 and mestanolone
31 MESTANOLONE
L4 11 L1 AND MESTANOLONE

=> s l1 full
L5 225 OXANDROLONE

=> d l4 1-11 ibib hitstr abs

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:737607 CAPLUS
DOCUMENT NUMBER: 139:224420
TITLE: Remedies for sex hormone-dependent disease
INVENTOR(S): Hara, Takahito; Kusaka, Masami
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003075958	A1	20030918	WO 2003-JP2783	20030310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004002321	A2	20040108	JP 2003-62996	20030310

PRIORITY APPLN. INFO.: JP 2002-65734 A 20020311

AB It is intended to provide drugs with the combined use of an LHRH receptor agonist or antagonist with an androgen receptor agonist which are useful as preventives or remedies for hormone-dependent diseases, etc. For example, microcapsules containing leuporelin acetate were formulated to be used in the treatment of androgen-sensitive prostate cancer.

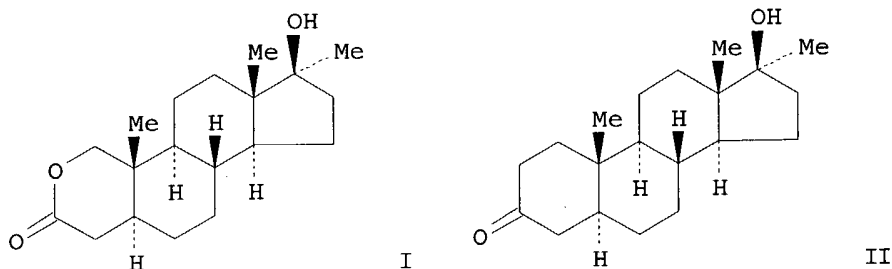
REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:455065 CAPLUS
DOCUMENT NUMBER: 139:36687
TITLE: Process for the preparation of **oxandrolone** from **mestanolone**
INVENTOR(S): Desai, Shaileshkumar Ramanlal; Ray, David Wayne; Sayed, Yousry A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2003109721	A1	20030612	US 2001-14665	20011211
PRIORITY APPLN. INFO.:			US 2001-14665	20011211
GI				



AB The present invention relates to a process for the synthesis of **oxandrolone** (I) from **mestanolone** (II). The process comprises the steps of: (a) oxidizing II to form 17 β -hydroxy-17 α -methyl-5 α -androst-1-en-3-one (III); (b) hydroxylating III to form 1 α ,2 α ,17 β -trihydroxy-17 α -methylandrostan-3-one (IV); (c) cleaving IV to form 17 β -hydroxy-17 α -methyl-1-oxo-1,2-seco-A-nor-5 α -androstan-2-oic acid (V); and (d) reducing V to form I.

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:977668 CAPLUS
DOCUMENT NUMBER: 138:61309
TITLE: Enhanced steroidal drug delivery in transdermal
systems
INVENTOR(S): Houze, David; Nguyen, Viet
PATENT ASSIGNEE(S): Noven Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002102390	A1	20021227	WO 2002-US16579	20020618
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2003152613	A1	20030814	US 2002-330279	20021230

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US 2003152614 A1 20030814 US 2002-330360 20021230
US 2003152615 A1 20030814 US 2002-330361 20021230
US 2003232073 A1 20031218 US 2002-330281 20021230
PRIORITY APPLN. INFO.: US 2001-298381P P 20010618
US 2001-948107 A 20010907
WO 2002-US16579 A1 20020618

AB A composition for transdermal administration resulting from an admixt. includes a therapeutically effective amount of a drug that includes a parent drug and a prodrug and a carrier, wherein the parent drug and prodrug are individually present in an amount sufficient for a pharmacol. effect. The admixt. include: a therapeutically effective amount of a steroid and a steroid derivative and a carrier for the steroid. The steroid and the corresponding derivative are present in a weight ratio of 10:1 to 1:10 steroid-corresponding steroid derivative In a preferred embodiment ratio is 6:1 to 1:6. In a preferred embodiment, the corresponding steroid derivative is a steroidal ester. In another preferred embodiment, the carrier is a polymer that includes a pressure-sensitive adhesive. In another preferred embodiment, the parent drug is an ACE inhibitor such as ramipril and the prodrug is an ACE inhibitor prodrug such as ramipril Et and/or Me esters. Thus, a transdermal delivery system contained norethindrone 1.2, estradiol 0.9, norethindrone acetate 2.5, VA-64 15.0, GMS-737 (acrylic PSA), oleic acid 3.0, dipropylene glycol 9.0, and Bio-PSA-7-4603 63.4%.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:449492 CAPLUS

DOCUMENT NUMBER: 137:37641

TITLE: Rosin esters for crystallization inhibition of drugs in transdermal delivery systems

INVENTOR(S): Hartwig, Rod Lawson

PATENT ASSIGNEE(S): Noven Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002045701	A2	20020613	WO 2001-US46614	20011205
WO 2002045701	A3	20021227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002035155	A5	20020618	AU 2002-35155	20011205
US 2002106402	A1	20020808	US 2001-10342	20011205
US 2003152616	A1	20030814	US 2003-353624	20030129

PRIORITY APPLN. INFO.: US 2000-251294P P 20001205
US 2001-10342 B1 20011205
WO 2001-US46614 W 20011205

AB The invention relates to compns. and methods for making a transdermal drug delivery system capable of achieving substantially zero-order kinetics for

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delivery of the active agent over a period of time in excess of 24 h and at least 72 h, comprising (i) a pharmaceutically acceptable active agent carrier and (ii) a rosin ester which provides a crystal inhibiting and drug stabilizing effect on the active agents incorporated therein. For example, a methyltestosterone pressure-sensitive adhesive mixture was prepared by combining 37.3 parts of a polysiloxane adhesive (BIO-PSA Q7-4603, a silicone pressure-sensitive adhesive in toluene), 2.3 parts of methyltestosterone, 6.1 parts polyvinylpyrrolidone (Kollidon 30), 8.6 parts pentaerythritol ester of wood rosin (Pentalyn A), 5.6 parts of toluene, 2.9 parts of iso-Pr alc., 3.5 parts of oleic acid, 3.5 parts of dipropylene glycol, and 30.2 parts of a polyacrylate adhesive (Gelva 3087, an acrylic pressure sensitive adhesive in Et acetate). No crystal formation of methyltestosterone in this formulation was observed during a storage for 2 mo.

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:682041 CAPLUS
DOCUMENT NUMBER: 129:347304
TITLE: Estrogen and androgen combinations to increase bone density
INVENTOR(S): Hiyama, Yoshiyuki; Tamura, Makoto; Furuyaya, Kazuyuki; Morita, Yoshiko; Aoyama, Ikuo
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10279483	A2	19981020	JP 1998-22353	19980203
PRIORITY APPLN. INFO.:			JP 1997-21451	19970204

AB Administration of estrogens and aromatase-nonmetabolizable androgens increases bone d., thereby prevents osteoporosis. A tablet was formulated containing dihydrotestosterone 1-4.8, estradiol 0.02-0.12, starch 70, and lactose 25 parts.

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:471093 CAPLUS
DOCUMENT NUMBER: 127:186695
TITLE: Properties and units in the clinical laboratory sciences. VI. Properties and units in IOC prohibited drugs
AUTHOR(S): Olesen, H.; Cowan, D.; Bruunshuus, I.; Klempel, K.; Hill, G.
CORPORATE SOURCE: IUPAC Commission on Nomenclature, Properties and Units (C-NPU), Chem. Human Health Div., IUPAC, Oxford, UK
SOURCE: Pure and Applied Chemistry (1997), 69(5), 1081-1136
CODEN: PACHAS; ISSN: 0033-4545
PUBLISHER: Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The term designating a substance being an active ingredient of a drug may be a generic name, a nonproprietary name, a registered trade name, a fantasy name or other. This causes difficulties in the transmission of request and report on such substances to and from the clin. labs., and in the collating of this information from different sources. The document comprises a list of properties of drugs of abuse in biol. fluids as

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defined by the International Olympic Committee (IOC) Medical Code for use in electronic transmission systems. Standard systematic names are presented with a code value for each. The coding schemes thus prepared are accessible on Internet from C-NPU Home page address: <http://inet.uni-c.dk/.apprx.qukb7642>.

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:441224 CAPLUS

DOCUMENT NUMBER: 119:41224

TITLE: Metabolism of anabolic steroids in man: synthesis and use of reference substances for identification of anabolic steroid metabolites

AUTHOR(S): Schaenzer, Willi; Donike, Manfred

CORPORATE SOURCE: Dtsch. Sporthochschule Koeln, Inst. Biochem., Carl-Diem-Weg 6, 5000, Cologne, Germany

SOURCE: Analytica Chimica Acta (1993), 275(1-2), 23-48
CODEN: ACACAM; ISSN: 0003-2670

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The use of anabolic steroids was banned by the International Olympic Committee for the first time at the Olympic Games in Montreal in 1976. Since that time the misuse of anabolic steroids by athletes has been controlled by anal. of urine exts. by gas chromatog.-mass spectrometry (GC-MS). The excreted steroids or their metabolites, or both, are isolated from urine by XAD-2 adsorption, enzymic hydrolysis of conjugated excreted metabolites with β -glucuronidase from *Escherichia coli*, liquid-liquid extraction with di-Et ether, and converted into trimethylsilyl

(TMS)

derivs. The confirmation of an anabolic steroid misuse is based on comparison of the electron impact ionization (EI) mass spectrum and GC retention time of the isolated steroid and/or its metabolite with the EI mass spectrum and GC retention time of authentic reference substances. For this purpose excretion studies with the most common anabolic steroids were performed and the main excreted metabolites were synthesized for bolasterone, boldenone, 4-chlorodehydromethyltestosterone, clostebol, drostanolone, fluoxymesterone, formebolone, **mestanolone**, mesterolone, metandienone, methandriol, metenolone, methyltestosterone, nandrolone, norethandrolone, **oxandrolone**, and stanozolol. The metabolism of anabolic steroids, the synthesis of their main metabolites, their GC retention and EI mass spectra as TMS derivs. are discussed.

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:81236 CAPLUS

DOCUMENT NUMBER: 118:81236

TITLE: 17-Epimerization of 17 α -methyl anabolic steroids in humans: metabolism and synthesis of 17 α -hydroxy-17 β -methyl steroids

AUTHOR(S): Schaenzer, Willi; Opfermann, Georg; Donike, Manfred

CORPORATE SOURCE: Inst. Biochem., Dtsch. Sporthochsch., Cologne, D-5000/41, Germany

SOURCE: Steroids (1992), 57(11), 537-50

CODEN: STEDAM; ISSN: 0039-128X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The 17-epimers of the anabolic steroids bolasterone, 4-chlorodehydromethyltestosterone, fluoxymesterone, furazabol, metandienone, **mestanolone**, methyltestosterone, methandriol, **oxandrolone**, oxymesterone, oxymetholone, stanozolol, and the human metabolites 7 α , 17 α -dimethyl-5 β -androsterane-3 α , 17 β -diol, 6 β -hydroxy-metandienone, 17 α -methyl-5 β -androster-1-ene-

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3 α ,17 β -diol, 3'-hydroxystanozolol, as well as the reference substances 17 β -hydroxy-17 α -methyl-5 β -androstan-3-one, 17 β -hydroxy-17 α -methyl-5 β -androst-1-en-3-one, the four isomers of 17-methyl-5-androstane-3,17-diol, and 17 β -hydroxy-7 α ,17 α -dimethyl-5 β -androstan-3-one were synthesized via a 17 β -sulfate that spontaneously hydrolyzed in water to several dehydration products, and to the 17 α -hydroxy-17 β -Me epimer. The 17 β -sulfate was prepared by reaction of the 17 β -hydroxy-17 α -Me steroid with sulfur trioxide-pyridine complex. The 17 β -Me epimers are eluted in gas chromatog. as trimethylsilyl derivs. before the corresponding 17 α -Me epimers. The electron impact mass spectra of the underivatized and trimethylsilylated epimers are in most cases identical and a differentiation between the 17-epimers was possible only in 3 cases. ¹H NMR spectra show for the 17 β -Me epimer a chemical shift for the C-18 protons (singlet) of about 0.175 ppm (in CDCl₃) to a lower field. ¹³C NMR spectra display differences for the 17-epimeric steroids in shielding effects for carbons 12-18 and 20. Excretion studies with the anabolic steroids with identification and quantification of 17-epimeric metabolites indicate that the extent of 17-epimerization depends on the A-ring structure and shows a great variation for the different 17 α -Me anabolic steroids.

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:551203 CAPLUS
DOCUMENT NUMBER: 117:151203
TITLE: Studies on anabolic steroids. 9. Tertiary sulfates of anabolic 17 α -methyl steroids: synthesis and rearrangement
AUTHOR(S): Bi, Honggang; Masse, Robert; Just, George
CORPORATE SOURCE: INRS-Sante, Univ. Quebec, Pointe-Claire, QC, H9R 1G6, Can.
SOURCE: Steroids (1992), 57(7), 306-12
CODEN: STEDAM; ISSN: 0039-128X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A simple and convenient method has been developed to prepare sulfates of anabolic 17 β -hydroxy-17 α -Me steroids. The sulfates of methandienone, 17 α -methyltestosterone, **mestanolone**, **oxandrolone**, and stanozolol were prepared. Different A-ring functions were not affected under the sulfation condition. The buffered hydrolyses of these sulfates provided the 17-epimers of the original steroids and 17,17-dimethyl-18-nor-13(14)-ene steroids, presumably via the 17-carbocations.

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:483656 CAPLUS
DOCUMENT NUMBER: 117:83656
TITLE: Studies on anabolic steroids. 12. Epimerization and degradation of anabolic 17 β -sulfate-17 α -methyl steroids in human: qualitative and quantitative GC/MS analysis
AUTHOR(S): Bi, Honggang; Masse, Robert
CORPORATE SOURCE: NRS-Sante, Univ. Quebec, Pointe-Claire, H9R 1G6, Can.
SOURCE: Journal of Steroid Biochemistry and Molecular Biology (1992), 42(5), 533-46
CODEN: JSBBEZ; ISSN: 0960-0760
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The epimerization and dehydration reactions of the 17 β -hydroxy group of anabolic 17 β -hydroxy-17 α -Me steroids have been investigated.

using the pyridinium salts of 17 β -sulfate derivs. of methandienone, methyltestosterone, **oxandrolone**, **mestanolone**, and stanozolol as model compds. Rearrangement of the sulfate conjugates in buffered urine (pH 5.2) afforded the corresponding 17-epimers and 18-nor-17,17-dimethyl-13(14)-enes in a ratio of 0.8:1. These data indicated that both epimerization and dehydration of the 17 β -sulfate derivs. were not dependent upon the resp. chemical features of the steroids studied, but were instead inherent to the chemical of the tertiary 17 β -hydroxy group of these steroids. Interestingly, in vivo studies carried out with human male volunteers showed that only methandienone, methyltestosterone, and **oxandrolone** yielded the corresponding 17-epimers and the 18-nor-17,17-dimethyl-13(14)-enes in ratios of 0.5:1, 2:1, and 2.7:1, resp. No trace of the corresponding 17-epimers and 18-nor-17,17-dimethyl-13(14)-ene derivs. of **mestanolone** and stanozolol was detected in urine samples collected after administration of these steroids. These data suggested that the in vivo formation of the 17-epimers and 18-nor-17,17-dimethyl-13(14)-ene derivs. of 17 β -hydroxy-17 α -Me steroids is also dependent upon phase I and phase II metabolic reactions other than sulfation of the tertiary 17 β -hydroxy group, which are probably modulated by the resp. chemical features of the steroidal substrates. The data reported in this study demonstrate that the 17-epimers and 18-nor-17,17-dimethyl-13(14)-enes are not artifacts resulting from the acidic or microbial degradation of the parent steroids in the gut as previously suggested by other authors, but arise from the rearrangement of their 17 β -sulfate derivs. Unchanged **oxandrolone** was solely detected in the unconjugated steroid fraction whereas unchanged methandienone, methyltestosterone, and stanozolol were recovered from the glucuronide fraction. These data are indirect evidences suggesting that the glucuronide conjugates of compds. methandienone and methyltestosterone are probably enol glucuronides and that of stanozolol is excreted in urine as a N-glucuronide involving its pyrazole moiety. The urinary excretion profiles of the epimeric and 18-nor-17,17-dimethyl-13(14)-ene steroids are presented and discussed on the basis of their structural features.

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:782 CAPLUS

DOCUMENT NUMBER: 116:782

TITLE: The chromatographic-mass spectrometric analysis and detection of anabolic steroids in human urines and a metabolic study

AUTHOR(S): Zhang, J.; Liu, C. S.; Bi, H. G.; Zhang, Y. Z.; Ye, L.; Zhou, T. H.

CORPORATE SOURCE: Inst. Mater. Med., Chin. Acad. Med. Sci., Beijing, 100050, Peop. Rep. China

SOURCE: Yaoxue Xuebao (1991), 26(8), 598-605
CODEN: YHHPAL; ISSN: 0513-4870

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB Anabolic steroids were sep. administered to healthy human males, and the urinary steroids and their metabolites were analyzed. The steroids and metabolites were isolated from the urine samples on XAD-2 resin column and eluted with MeOH. The MeOH eluent was derivatized with MSTFA and TMSI for anal. on gas chromatog.-mass spectrometry (GC-MS). A capillary column HP-5 (17 m + 0.22 mm 0.3 μ m) packed with crosslinked Me siloxane containing 5% Ph group was used as the stationary phase, with He as the carrier gas, inlet temperature 280 $^{\circ}$, and detection temperature 290 $^{\circ}$. Based on the observed data, a method for large scale and routine anal. of anabolic steroids was established. The injected anabolic steroids appeared to undergo various metabolic processes including hydroxylation,

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reduction, and 3→17 position shift of carboxyl group.

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FILE 'STNGUIDE' ENTERED AT 13:42:14 ON 07 FEB 2004

FILE 'CAPLUS' ENTERED AT 13:42:25 ON 07 FEB 2004

L1 225 S OXANDROLONE
L2 12 S L1 AND SYNTHESIS
L3 4 S L1 AND PREPARATION
L4 11 S L1 AND MESTANOLONE
L5 225 S L1 FULL

=> d l2 1-12 ibib hitstr abs

L2 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:728313 CAPLUS
DOCUMENT NUMBER: 140:5210
TITLE: A convenient **synthesis** of
oxandrolone through a regioselective Candida
antarctica lipase-catalyzed transformation
AUTHOR(S): Ferraboschi, Patrizia; Colombo, Diego; Prestileo,
Paolo
CORPORATE SOURCE: Department of Medical Chemistry, Biochemistry and
Biotechnology, Universita degli Studi di Milano,
Milan, 20133, Italy
SOURCE: Tetrahedron: Asymmetry (2003), 14(18), 2781-2785
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:5210
AB The use of a regioselective CAL-catalyzed transformation of a suitable
intermediate allowed a convenient **synthesis** of
oxandrolone, an anabolic hormone actually employed to improve the
quality of life for patients with HIV-infections.
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:455065 CAPLUS
DOCUMENT NUMBER: 139:36687
TITLE: Process for the preparation of **oxandrolone**
from mestanolone
INVENTOR(S): Desai, Shaileshkumar Ramanlal; Ray, David Wayne;
Sayed, Yousry A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003109721	A1	20030612	US 2001-14665	20011211

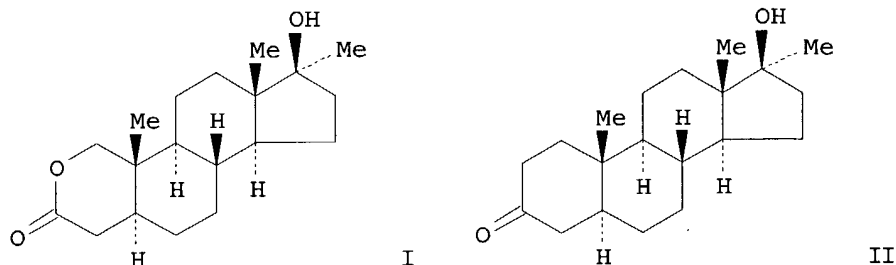
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PRIORITY APPLN. INFO.:
GI

US 2001-14665

20011211



AB The present invention relates to a process for the **synthesis** of **oxandrolone** (I) from mestanolone (II). The process comprises the steps of: (a) oxidizing II to form 17 β -hydroxy-17 α -methyl-5 α -androst-1-en-3-one (III); (b) hydroxylating III to form 1 α ,2 α ,17 β -trihydroxy-17 α -methylandrostan-3-one (IV); (c) cleaving IV to form 17 β -hydroxy-17 α -methyl-1-oxo-1,2-seco-A-nor-5 α -androstan-2-oic acid (V); and (d) reducing V to form I.

L2 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:311325 CAPLUS

DOCUMENT NUMBER: 139:207939

TITLE: Reversibility of the effects on blood cells, lipids, liver function and hormones in former anabolic-androgenic steroid abusers

AUTHOR(S): Urhausen, Axel; Torsten, Albers; Wilfried, Kindermann
CORPORATE SOURCE: Institute of Sports and Preventive Medicine, Faculty of Clinical Medicine, University of Saarland, Saarbruecken, 66041, Germany

SOURCE: Journal of Steroid Biochemistry and Molecular Biology (2003), 84(2-3), 369-375
CODEN: JSBBEZ; ISSN: 0960-0760

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In contrast to the acute effects of anabolic-androgenic steroid (AAS) abuse, the long-term risk profile of former long-term abusers (ExA) is less clear. Blood parameters of 32 male bodybuilders and powerlifters were studied. Fifteen ExA had not been abusing AAS for at least 12-43 mo on average (mean dosage 700 mg for 26 wk per yr over 9 yr), 17 athletes (A) were still abusing AAS (750 mg for 33 wk per 8 yr). Hb (+5%), leukocytes (+33%) and platelets (+38%) were significantly higher in A. Alanine aminotransferase (ALT) and aspartate aminotransferase (AST) were higher, cholinesterase activity (CHE) lower in A (65 \pm 55, 38 \pm 27 and 3719 \pm 1528 U/l) compared to ExA (24 \pm 10, 18 \pm 11 and 6345 \pm 975 U/l; each P<0.001) with normal values for gamma-glutamyl transpeptidase (gamma-GT) and bilirubin. ALT, AST and CHE correlated significantly with the extent (duration and weekly dosage, expressed as a point score) of AAS abuse in A (r=0.68, 0.57 and -0.62; each P<0.01). Total and LDL-cholesterol were similar, HDL-cholesterol was distinctly lower in A than in ExA (17 \pm 11 and 43 \pm 11 mg/dL; P<0.001) and correlated neg. with the extent of AAS abuse (r=-0.50; P<0.05). Testosterone and estradiol were significantly higher, while LH, FSH and the

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sexual-hormone-binding (SHB) protein were lower in A than in ExA (each $P < 0.001$). Two ExA had testosterone levels below the normal range. The alterations in cell counts, HDL-cholesterol, liver function and most hormones of the pituitary-testicular axis induced by a long-term abuse of AAS were reversible after stopping the medication for over 1 yr. In some ExA, an increased ALT activity and a depressed testosterone **synthesis** were found.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:667289 CAPLUS

DOCUMENT NUMBER: 133:359278

TITLE: Androgens and the control of skeletal muscle protein **synthesis**

AUTHOR(S): Sheffield-Moore, Melinda

CORPORATE SOURCE: Department of Surgery, Metabolism Unit, University of Texas Medical Branch, Galveston, TX, 77550, USA

SOURCE: Annals of Medicine (Helsinki) (2000), 32(3), 181-186
CODEN: ANMDEU; ISSN: 0785-3890

PUBLISHER: Royal Society of Medicine Press Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 54 refs. Athletes have long supported the concept that anabolic steroids increase skeletal muscle mass. However, it was only recently that both testosterone and its synthetic analog, **oxandrolone**, were proven capable of inducing myotrophic effects in postabsorptive human skeletal muscle. These findings have provided the physiol. evidence that anabolic steroids deserve attention in the clin. arena as a pharmacol. intervention against losses in lean body mass associated with age, disease, trauma and burn injury. However, the authors are lacking in vivo mol. evidence that would directly or indirectly link androgens and the androgen receptor with increases in skeletal muscle mass. Clearly, a need exists to link in vivo and in vitro studies from both the physiol. and mol. arena as they relate to androgens and the control and regulation of skeletal muscle mass. In this brief review, newly discovered information and emerging theories relating to the direct, indirect, priming and antiglucocorticoid action of androgens on skeletal muscle will be presented.

REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:320506 CAPLUS

DOCUMENT NUMBER: 133:84336

TITLE: Testosterone and muscle protein metabolism

AUTHOR(S): Wolfe, Robert; Ferrando, Arny; Sheffield-Moore, Melinda; Urban, Randall

CORPORATE SOURCE: University of Texas Medical Branch and Shriner's Hospital for Children, Galveston, TX, USA

SOURCE: Mayo Clinic Proceedings (2000), 75(Suppl.), S55-S60
CODEN: MACPAJ; ISSN: 0025-6196

PUBLISHER: Dowden Publishing Co., Inc.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 6 refs. This presentation discusses recent investigations into testosterone's effects on muscle protein metabolism. Protein **synthesis** is the principal end point, but protein breakdown and the availability of an amino acid pool are important to the process of net muscle protein **synthesis**. The effects of other

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hormones-including growth hormone, **oxandrolone** (a synthetically derived testosterone), and androstenedione-on muscle protein **synthesis** also are discussed. Effects in both normal and elderly men are considered.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:147294 CAPLUS

DOCUMENT NUMBER: 132:260820

TITLE: Combined effects of hyperaminoacidemia and **oxandrolone** on skeletal muscle protein **synthesis**

AUTHOR(S): Sheffield-Moore, Melinda; Wolfe, Robert R.; Gore, Dennis C.; Wolf, Steven E.; Ferrer, Dennis M.; Ferrando, Arny A.

CORPORATE SOURCE: Department of Surgery, University of Texas Medical Branch, Galveston, TX, 77550, USA

SOURCE: American Journal of Physiology (2000), 278(2, Pt. 1), E273-E279

CODEN: AJPHAP; ISSN: 0002-9513

PUBLISHER: American Physiological Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors investigated whether the normal anabolic effects of acute hyperaminoacidemia were maintained after 5 days of **oxandrolone** (Oxandrin, Ox)-induced anabolism. Five healthy men [22±3 (SD) yr] were studied before and after 5 days of oral Ox (15 mg/day). In each study, a 5-h basal period was followed by a 3-h primed-continuous infusion of a com. amino acid mixture (10% Travasol). Stable isotopic data from blood and muscle sampling were analyzed using a three-compartment model to calculate muscle protein **synthesis** and breakdown. Model-derived muscle protein **synthesis** increased after amino acid infusion in both the control [basal control (BC) vs. control + amino acids (C+AA); P < 0.001] and Ox study [basal Ox (BOx) vs. Ox + amino acids (Ox+AA); P < 0.01], whereas protein breakdown was unchanged. Fractional synthetic rates of muscle protein increased 94% (BC vs. C+AA; P = 0.01) and 53% (BOx vs. Ox+AA; P < 0.01), resp. The authors conclude that the normal anabolic effects of acute hyperaminoacidemia are maintained in skeletal muscle undergoing **oxandrolone**-induced anabolism.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:514635 CAPLUS

DOCUMENT NUMBER: 131:252713

TITLE: Short-term **oxandrolone** administration stimulates net muscle protein **synthesis** in young men

AUTHOR(S): Sheffield-Moore, Melinda; Urban, Randall J.; Wolf, Steven E.; Jiang, J.; Catlin, Don H.; Herndon, David N.; Wolfe, Robert R.; Ferrando, Arny A.

CORPORATE SOURCE: Department of Surgery, University of Texas Medical Branch, Galveston, TX, 77550, USA

SOURCE: Journal of Clinical Endocrinology and Metabolism (1999), 84(8), 2705-2711

CODEN: JCEMAZ; ISSN: 0021-972X

PUBLISHER: Endocrine Society

DOCUMENT TYPE: Journal

LANGUAGE: English

2/7/04

AB Short term administration of testosterone stimulates net protein **synthesis** in healthy men. The authors investigated whether **oxandrolone** [Oxandrin (OX)], a synthetic analog of testosterone, would improve net muscle protein **synthesis** and transport of amino acids across the leg. Six healthy men [22 yr] were studied in the postabsorptive state before and after 5 days of oral OX (15 mg/day). Muscle protein **synthesis** and breakdown were determined by a three-compartment model using stable isotopic data obtained from femoral arterio-venous sampling and muscle biopsy. The precursor-product method was used to determine muscle protein fractional synthetic rates. Fractional breakdown rates were also directly calculated. Total mRNA concns. of skeletal muscle insulin-like growth factor I and androgen receptor (AR) were determined using RT-PCR. Model-derived muscle protein **synthesis** increased from 53.5 to 68.3 nmol/min/100 mL/leg, whereas protein breakdown was unchanged. Inward transport of amino acids remained unchanged with OX, whereas outward transport decreased. The fractional synthetic rate increased 44% after OX administration, with no change in fractional breakdown rate. Therefore, the net balance between **synthesis** and breakdown became more pos. with both methodologies and was not different from zero. Further, RT-PCR showed that OX administration significantly increased mRNA concns. of skeletal muscle AR without changing insulin-like growth factor I mRNA concns. The authors conclude that short term OX administration stimulated an increase in skeletal muscle protein **synthesis** and improved intracellular reutilization of amino acids. The mechanism for this stimulation may be related to an OX-induced increase in AR expression in skeletal muscle.

L2 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:441224 CAPLUS

DOCUMENT NUMBER: 119:41224

TITLE: Metabolism of anabolic steroids in man:
synthesis and use of reference substances for
identification of anabolic steroid metabolites

AUTHOR(S): Schaenzer, Willi; Donike, Manfred

CORPORATE SOURCE: Dtsch. Sporthochschule Koeln, Inst. Biochem.,
Carl-Diem-Weg 6, 5000, Cologne, Germany

SOURCE: Analytica Chimica Acta (1993), 275(1-2), 23-48

CODEN: ACACAM; ISSN: 0003-2670

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The use of anabolic steroids was banned by the International Olympic Committee for the first time at the Olympic Games in Montreal in 1976. Since that time the misuse of anabolic steroids by athletes has been controlled by anal. of urine exts. by gas chromatog.-mass spectrometry (GC-MS). The excreted steroids or their metabolites, or both, are isolated from urine by XAD-2 adsorption, enzymic hydrolysis of conjugated excreted metabolites with β -glucuronidase from *Escherichia coli*, liquid-liquid extraction with di-Et ether, and converted into trimethylsilyl

(TMS)

derivs. The confirmation of an anabolic steroid misuse is based on comparison of the electron impact ionization (EI) mass spectrum and GC retention time of the isolated steroid and/or its metabolite with the EI mass spectrum and GC retention time of authentic reference substances. For this purpose excretion studies with the most common anabolic steroids were performed and the main excreted metabolites were synthesized for bolasterone, boldenone, 4-chlorodehydromethyltestosterone, clostebol, drostanolone, fluoxymesterone, formebolone, mestanolone, mesterolone, metandienone, methandriol, metenolone, methyltestosterone, nandrolone, norethandrolone, **oxandrolone**, and stanozolol. The metabolism of anabolic steroids, the **synthesis** of their main metabolites,

their GC retention and EI mass spectra as TMS derivs. are discussed.

L2 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:81236 CAPLUS

DOCUMENT NUMBER: 118:81236

TITLE: 17-Epimerization of 17 α -methyl anabolic steroids in humans: metabolism and **synthesis** of 17 α -hydroxy-17 β -methyl steroids

AUTHOR(S): Schaenzer, Willi; Opfermann, Georg; Donike, Manfred

CORPORATE SOURCE: Inst. Biochem., Dtsch. Sporthochsch., Cologne, D-5000/41, Germany

SOURCE: Steroids (1992), 57(11), 537-50

CODEN: STEDAM; ISSN: 0039-128X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The 17-epimers of the anabolic steroids bolasterone, 4-chlorodehydromethyltestosterone, fluoxymesterone, furazabol, metandienone, mestanolone, methyltestosterone, methandriol, **oxandrolone**, oxymesterone, oxymetholone, stanozolol, and the human metabolites 7 α ,17 α -dimethyl-5 β -androstand-3 α ,17 β -diol, 6 β -hydroxy-metandienone, 17 α -methyl-5 β -androstand-1-ene-3 α ,17 β -diol, 3'-hydroxystanozolol, as well as the reference substances 17 β -hydroxy-17 α -methyl-5 β -androstand-3-one, 17 β -hydroxy-17 α -methyl-5 β -androstand-1-en-3-one, the four isomers of 17-methyl-5-androstand-3,17-diol, and 17 β -hydroxy-7 α ,17 α -dimethyl-5 β -androstand-3-one were synthesized via a 17 β -sulfate that spontaneously hydrolyzed in water to several dehydration products, and to the 17 α -hydroxy-17 β -Me epimer. The 17 β -sulfate was prepared by reaction of the 17 β -hydroxy-17 α -Me steroid with sulfur trioxide-pyridine complex. The 17 β -Me epimers are eluted in gas chromatog. as trimethylsilyl derivs. before the corresponding 17 α -Me epimers. The electron impact mass spectra of the underivatized and trimethylsilylated epimers are in most cases identical and a differentiation between the 17-epimers was possible only in 3 cases. ¹H NMR spectra show for the 17 β -Me epimer a chemical shift for the C-18 protons (singlet) of about 0.175 ppm (in CDCl₃) to a lower field. ¹³C NMR spectra display differences for the 17-epimeric steroids in shielding effects for carbons 12-18 and 20. Excretion studies with the anabolic steroids with identification and quantification of 17-epimeric metabolites indicate that the extent of 17-epimerization depends on the A-ring structure and shows a great variation for the different 17 α -Me anabolic steroids.

L2 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:551203 CAPLUS

DOCUMENT NUMBER: 117:151203

TITLE: Studies on anabolic steroids. 9. Tertiary sulfates of anabolic 17 α -methyl steroids: **synthesis** and rearrangement

AUTHOR(S): Bi, Honggang; Masse, Robert; Just, George

CORPORATE SOURCE: INRS-Sante, Univ. Quebec, Pointe-Claire, QC, H9R 1G6, Can.

SOURCE: Steroids (1992), 57(7), 306-12

CODEN: STEDAM; ISSN: 0039-128X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A simple and convenient method has been developed to prepare sulfates of anabolic 17 β -hydroxy-17 α -Me steroids. The sulfates of methandienone, 17 α -methyltestosterone, mestanolone, **oxandrolone**, and stanozolol were prepared. Different A-ring

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functions were not affected under the sulfation condition. The buffered hydrolyses of these sulfates provided the 17-epimers of the original steroids and 17,17-dimethyl-18-nor-13(14)-ene steroids, presumably via the 17-carbocations.

L2 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1983:210197 CAPLUS

DOCUMENT NUMBER: 98:210197

TITLE: Effect of testosterone and **oxandrolone** on thrombocyte aggregation and **synthesis** of prostaglandins in thrombocytes and aorta of atherosclerosis-susceptible pigeons

AUTHOR(S): Skjaerlund, J. M.; Deitemeyer, D.; Yunker, R. L.; Subbiah, M. T. R.

CORPORATE SOURCE: Med. Cent., Univ. Cincinnati, Cincinnati, OH, 4567, USA

SOURCE: Andrologia (1983), 15(1), 57-61

CODEN: ANDRDQ; ISSN: 0303-4569

DOCUMENT TYPE: Journal

LANGUAGE: English

AB testosterone [58-22-0] And **oxandrolone** (I) [53-39-4], given i.m. at 5 mg/kg, biweekly, stimulated 6-keto-PGF1 α [58962-34-8], PGF2 α [551-11-1], and PGE2 [363-24-6] formation in aorta isolated from atherosclerosis-susceptible white Carneau pigeons, but no changes in plasma cholesterol [57-88-5] and triglyceride levels were seen. Neither substance altered arachidonic acid [506-32-1], ADP [58-64-0], or collagen-induced platelet aggregation in the pigeons. The possible beneficial effects of these androgens in the control of atherogenesis are discussed.

L2 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1968:570 CAPLUS

DOCUMENT NUMBER: 68:570

TITLE: Effect of anabolic steroids on plasma glycoproteins

AUTHOR(S): Sachs, Bernard A.; Wolfman, Lila

CORPORATE SOURCE: Montefiore Hosp. and Med. Center, New York, NY, USA

SOURCE: Nature (London, United Kingdom) (1967), 216(5112), 297-8

CODEN: NATUAS; ISSN: 0028-0836

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The anabolic action of **oxandrolone** was studied in human patients with disorders of lipid metabolism. **Oxandrolone** markedly increased the α 2-globulin and α 2-glycoprotein levels in the plasma, without changing the β -globulin level, 5 weeks after the start of therapy. Thus, **oxandrolone**, similar to 17-ethyl-19-nortestosterone (norethandrolone), greatly enhanced the concns. of plasma glycoprotein. The increased glycoprotein **synthesis** and improved carbohydrate metabolism produced by these compds. may be due to enhancement of the hexokinase pathway in the liver and subsequent shunting of glucose, a primary enzyme induction of glycoprotein **synthesis**, or inhibition of gluconeogenesis.

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L3 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:455065 CAPLUS

DOCUMENT NUMBER: 139:36687

TITLE: Process for the **preparation** of

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003032817

A1 20030213

US 2002-146595 20020515

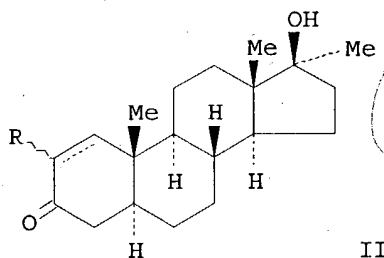
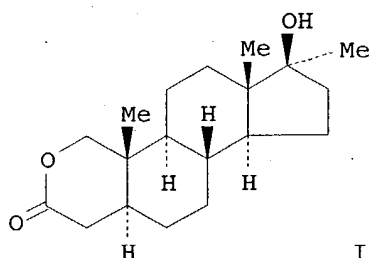
PRIORITY APPLN. INFO.:

US 2001-290966P P 20010515

OTHER SOURCE(S):

CASREACT 138:24880

GI



AB The present invention discloses a process for synthesizing **oxandrolone** (I) from 17β-hydroxy-17α-methyl-5α-androstan-3-one II [R = H; dashed bond = single bond (III)]. The process involves bromination of III to obtain IV [R = Br, dashed bond = single bond (IV)], followed by the highly selective de-bromination of IV to obtain Δ1-unsatd. steroid II [R = H; dashed bond = double bond (V)], followed by the oxidation of V to obtain 17β-hydroxy-17α-methyl-1-oxo-1,2-seco-A-nor-5α-androstan-2-oic acid (VI). Reduction of VI afforded I (86% yield).

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:198196 CAPLUS

DOCUMENT NUMBER: 118:198196

TITLE: Methods and formulations for use in inhibiting conception and in treating benign gynecological disorders

INVENTOR(S): Spicer, Darcy Vernon; Pike, Malcolm Cecil

PATENT ASSIGNEE(S): University of Southern California, USA

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9218107	A1	19921029	WO 1992-US2973	19920410
W: CA, FI, NO, US				

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10/014,665

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
US 5211952 A 19930518 US 1991-684612 19910412
CA 2084891 AA 19921013 CA 1992-2084891 19920410
CA 2084891 C 19990105
EP 538443 A1 19930428 EP 1992-910686 19920410
EP 538443 B1 19971001

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE
AT 158717 E 19971015 AT 1992-910686 19920410
ES 2109995 T3 19980201 ES 1992-910686 19920410
NO 9204755 A 19930209 NO 1992-4755 19921209
US 5340584 A 19940823 US 1993-952513 19930201

PRIORITY APPLN. INFO.: US 1991-684612 A2 19910412
WO 1992-US2973 W 19920410

AB Slow-release compns. for inhibiting conception and treating benign gynecol. disorders contain a gonadotropin hormone releasing hormone (GnRH), an estrogen to be released first, in addition to a progestogen and, optionally, an androgen. An. i.m. delivery system for administration over 4 mo contains buserelin, estradiol, and progesterone, such that the amount of GnRH is sufficient to suppress LH and FSH secretion during the entire period of administration. Both buserelin and estradiol are in the form of glycolide-lactide microspheres.

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:551203 CAPLUS

DOCUMENT NUMBER: 117:151203

TITLE: Studies on anabolic steroids. 9. Tertiary sulfates of anabolic 17 α -methyl steroids: synthesis and rearrangement

AUTHOR(S): Bi, Honggang; Masse, Robert; Just, George

CORPORATE SOURCE: INRS-Sante, Univ. Quebec, Pointe-Claire, QC, H9R 1G6, Can.

SOURCE: Steroids (1992), 57(7), 306-12

CODEN: STEDAM; ISSN: 0039-128X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A simple and convenient method has been developed to prepare sulfates of anabolic 17 β -hydroxy-17 α -Me steroids. The sulfates of methandienone, 17 α -methyltestosterone, mestanolone, **oxandrolone**, and stanozolol were prepared. Different A-ring functions were not affected under the sulfation condition. The buffered hydrolyses of these sulfates provided the 17-epimers of the original steroids and 17,17-dimethyl-18-nor-13(14)-ene steroids, presumably via the 17-carbocations.

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:H

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
80.32	80.59

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-18.71	-18.71

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 60 MINUTES

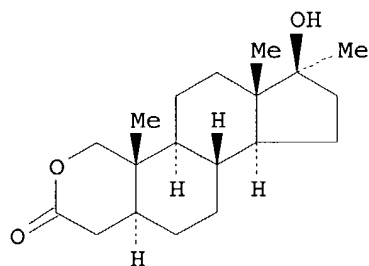
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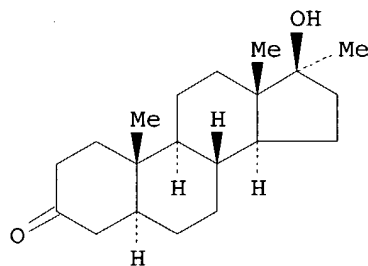
10/014,665

INVENTOR(S): **oxandrolone** from mestanolone
Desai, Shaileshkumar Ramanlal; Ray, David Wayne;
Sayed, Yousry A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003109721	A1	20030612	US 2001-14665	20011211
PRIORITY APPLN. INFO.: GI			US 2001-14665	20011211



I



II

AB The present invention relates to a process for the synthesis of **oxandrolone** (I) from mestanolone (II). The process comprises the steps of: (a) oxidizing II to form 17 β -hydroxy-17 α -methyl-5 α -androst-1-en-3-one (III); (b) hydroxylating III to form 1 α ,2 α ,17 β -trihydroxy-17 α -methylandrostan-3-one (IV); (c) cleaving IV to form 17 β -hydroxy-17 α -methyl-1-oxo-1,2-seco-A-nor-5 α -androstan-2-oic acid (V); and (d) reducing V to form I.

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:964377 CAPLUS
DOCUMENT NUMBER: 138:24880
TITLE: Process for preparing **oxandrolone** from
17 β -hydroxy-17 α -methyl-5 α -androstan-3-one
INVENTOR(S): Cabaj, John E.; Kairys, David L.; Zizelman, Paul M.
PATENT ASSIGNEE(S): Cedarburg Pharmaceuticals, LLC, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100881	A1	20021219	WO 2002-US15231	20020515

10/014,665

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003032817

A1 20030213

US 2002-146595 20020515

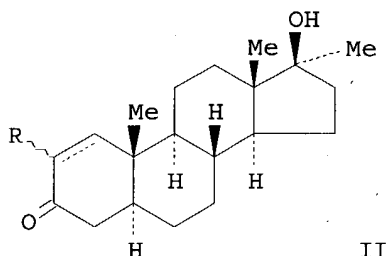
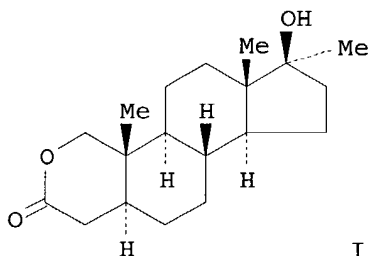
PRIORITY APPLN. INFO.:

US 2001-290966P P 20010515

OTHER SOURCE(S):

CASREACT 138:24880

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AB The present invention discloses a process for synthesizing **oxandrolone** (I) from 17 β -hydroxy-17 α -methyl-5 α -androstan-3-one II [R = H; dashed bond = single bond (III)]. The process involves bromination of III to obtain IV [R = Br, dashed bond = single bond (IV)], followed by the highly selective de-bromination of IV to obtain Δ^1 -unsatd. steroid II [R = H; dashed bond = double bond (V)], followed by the oxidation of V to obtain 17 β -hydroxy-17 α -methyl-1-oxo-1,2-seco-A-nor-5 α -androstan-2-oic acid (VI). Reduction of VI afforded I (86% yield).

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:198196 CAPLUS

DOCUMENT NUMBER: 118:198196

TITLE: Methods and formulations for use in inhibiting conception and in treating benign gynecological disorders

INVENTOR(S): Spicer, Darcy Vernon; Pike, Malcolm Cecil

PATENT ASSIGNEE(S): University of Southern California, USA

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9218107	A1	19921029	WO 1992-US2973	19920410
W: CA, FI, NO, US				

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